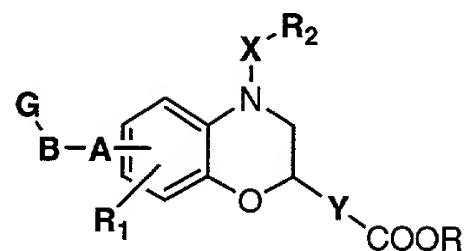


CLAIMS

1. A compound of the formula (I)

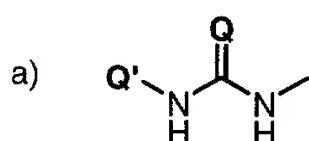


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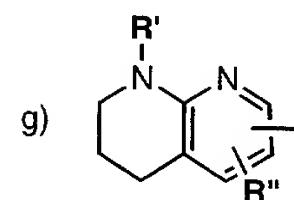
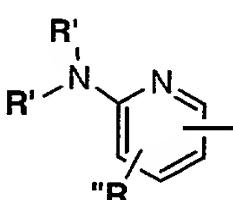
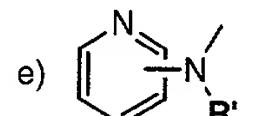
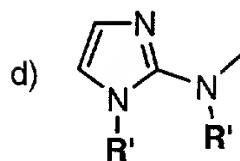
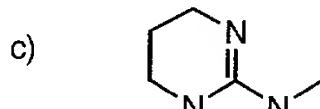
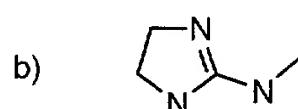
(I)

or a pharmaceutically acceptable salt, prodrug or ester thereof, wherein:

G is selected from the group consisting of



wherein Q is NH or O and Q' is selected from the group consisting of H, C₁-C₆ alkyl, phenyl, and phenyl-C₁-C₄-alkyl;



wherein R' and R'' are independently H or C₁-C₄-alkyl;

B is C₁-C₄ alkyl or C₂-C₄ alkenyl;

15 A is selected from the group consisting of CH₂, O, S(O)_p wherein p is zero, 1 or 2, NH, a group CON(R'') or N(R'')CO wherein R'' is hydrogen or CH₃;

R₁ is selected from the group consisting of H, C₁-C₄ alkyl, C₁-C₄ alkoxy, OH, halogen, and CF₃;

20 X is (C=O)_m wherein m is 0 or 1;

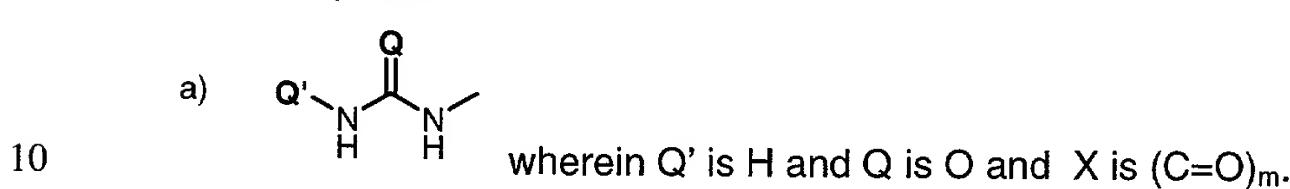
R₂ is selected from the group consisting of H, C₁-C₄ alkyl, C₃-C₇ cycloalkyl, C₁-C₄-alkylcycloalkyl; aryl unsubstituted or optionally substituted by one to three substituents independently selected from halogen, CF₃, C₁-C₄

alkyl, hydroxy and C₁-C₄ alkoxy; aralkyl; and C₅-C₇ monocyclic heteroaryl ring containing one to three heteroatoms selected from O, S, and N, unsubstituted or optionally substituted by one to three substituents independently selected from the group consisting of halogen, CF₃, C₁-C₄ alkyl, hydroxy and C₁-C₄ alkoxy;

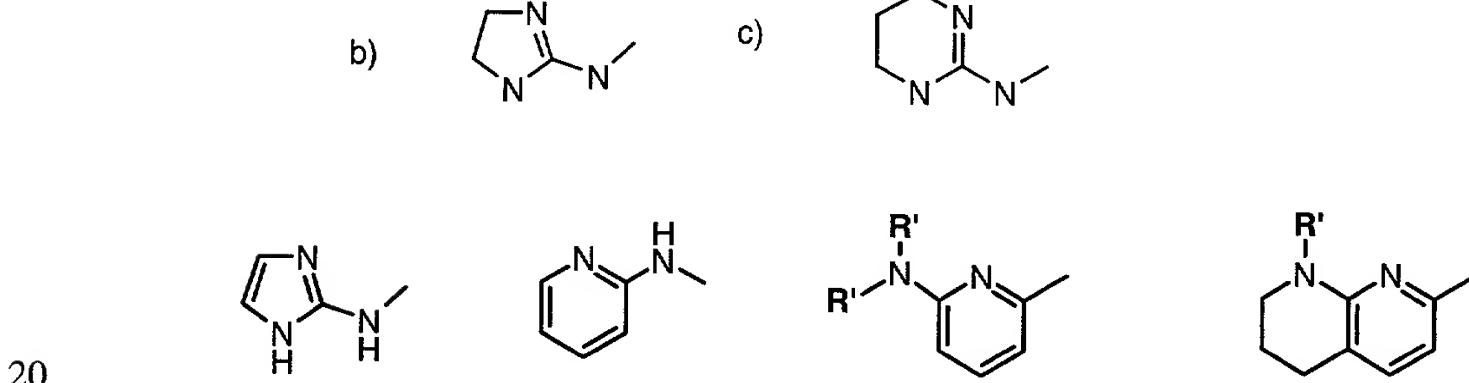
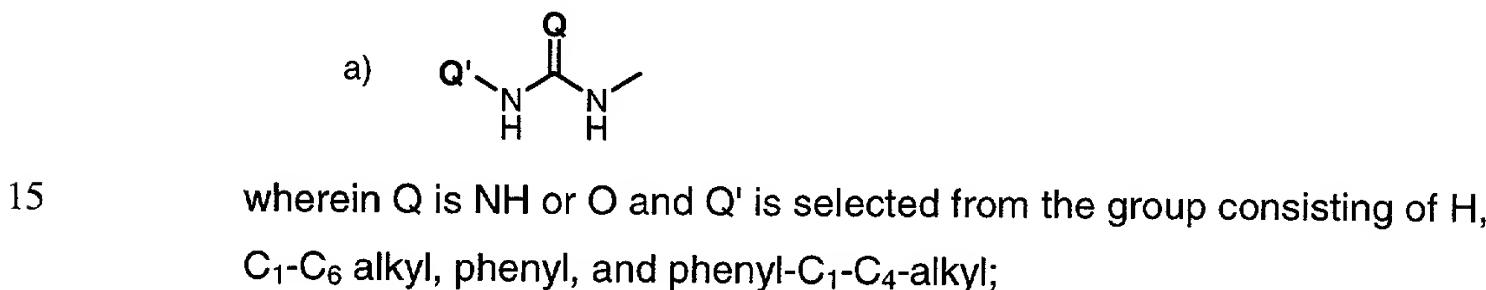
5 Y is (CH₂)_n wherein n is 1 or 2;

R is selected from the group consisting of hydrogen, C₁-C₆ alkyl, C₂-C₄ alkenyl, C₂-C₄ alkynyl, aryl or aryl-C₁-C₄ alkyl.

With the proviso that m can not be 0 when G is :



2. A compound according to claim 1, wherein G is selected from the group consisting of



wherein R' is independently H or C₁-C₄-alkyl;

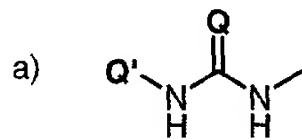
B is (CH₂)_q wherein q is 2, 3 or 4;

R₂ is a phenyl by one to three substituents independently selected from halogen, CF₃, C₁-C₄ alkyl, hydroxy and C₁-C₄ alkoxy; aralkyl; or pyridine ring unsubstituted or optionally substituted by one to three substituents

25

independently selected from the group consisting of halogen, CF_3 , $\text{C}_1\text{-C}_4$ alkyl, hydroxy and $\text{C}_1\text{-C}_4$ alkoxy.

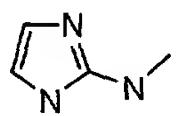
With the proviso that m can not be 0 when G is :



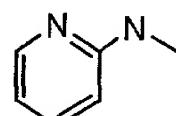
wherein Q' is H and Q is O and X is $(\text{C}=\text{O})_m$.

5

3. A compound according to claim 1, wherein
G is selected from the group consisting of



OR



10

B is $(\text{CH}_2)_q$ wherein q is 2, 3 or 4;

R_2 is a phenyl by one to three substituents independently selected from halogen, CF_3 , $\text{C}_1\text{-C}_4$ alkyl, hydroxy and $\text{C}_1\text{-C}_4$ alkoxy; aralkyl; or pyridine ring unsubstituted or optionally substituted by one to three substituents independently selected from the group consisting of halogen, CF_3 , $\text{C}_1\text{-C}_4$ alkyl, hydroxy and $\text{C}_1\text{-C}_4$ alkoxy.

15

4. The compound as recited in claim 1 wherein the compound is selected from the group consisting of

20

(4-phenyl-6-{{3-(2-pyridinylamino)propanoyl]amino}-3,4-dihydro-2H-1,4-benzoxazin-2-yl)acetic acid;

(4-phenyl-6-{{4-(2-pyridinylamino)butanoyl]amino}-3,4-dihydro-2H-1,4-benzoxazin-2-yl)acetic acid;

25

(4-phenyl-6-{{5-(2-pyridinylamino)pentanoyl]amino}-3,4-dihydro-2H-1,4-benzoxazin-2-yl)acetic acid;

(4-phenyl-6-{{3-(1H-imidazol-2-ylamino)propanoyl]amino}-3,4-dihydro-2H-1,4-benzoxazin-2-yl)acetic acid;

30

(4-phenyl-6-{{4-(1H-imidazol-2-ylamino)butanoyl]amino}-3,4-dihydro-2H-1,4-benzoxazin-2-yl)acetic acid;

(4-phenyl-6-{{5-(1H-imidazol-2-ylamino)pentanoyl]amino}-3,4-dihydro-2H-1,4-benzoxazin-2-yl)acetic acid;

(4-methyl-6-{{3-(2-pyridinylamino)propanoyl] amino}-3,4-dihydro-2H-1,4-benzoxazin-2-yl)acetic acid;

5 (4-methyl-6-{{4-(2-pyridinylamino)butanoyl] amino}-3,4-dihydro-2H-1,4-benzoxazin-2-yl)acetic acid;

(4-methyl-6-{{5-(2-pyridinylamino)pentanoyl] amino}-3,4-dihydro-2H-1,4-benzoxazin-2-yl)acetic acid;

(4-methyl-6-{{3-(1H-imidazol-2-ylamino)propanoyl] amino}-3,4-dihydro-2H-10 1,4-benzoxazin-2-yl)acetic acid;

(4-methyl-6-{{4-(1H-imidazol-2-ylamino)butanoyl]amino}-3,4-dihydro-2H-1,4-benzoxazin-2-yl)acetic acid;

(4-methyl-6-{{5-(1H-imidazol-2-ylamino)pentanoyl] amino}-3,4-dihydro-2H-15 1,4-benzoxazin-2-yl)acetic acid;

(4-cyclopropylmethyl-6-{{3-(2-pyridinylamino)propanoyl] amino}-3,4-dihydro-2H-1,4-benzoxazin-2-yl)acetic acid;

(4-cyclopropylmethyl-6-{{4-(2-pyridinylamino)butanoyl] amino}-3,4-dihydro-2H-1,4-benzoxazin-2-yl)acetic acid;

(4-cyclopropylmethyl-6-{{5-(2-pyridinylamino)pentanoyl] amino}-3,4-dihydro-20 2H-1,4-benzoxazin-2-yl)acetic acid;

(4-cyclopropylmethyl-6-{{3-(1H-imidazol-2-ylamino)propanoyl] amino}-3,4-dihydro-2H-1,4-benzoxazin-2-yl)acetic acid;

(4-cyclopropylmethyl-6-{{4-(1H-imidazol-2-ylamino)butanoyl]amino}-3,4-dihydro-2H-1,4-benzoxazin-2-yl)acetic acid;

25 (4-cyclopropylmethyl-6-{{5-(1H-imidazol-2-ylamino)pentanoyl] amino}-3,4-dihydro-2H-1,4-benzoxazin-2-yl)acetic acid;

(4-cyclohexylmethyl-6-{{3-(2-pyridinylamino)propanoyl] amino}-3,4-dihydro-2H-1,4-benzoxazin-2-yl)acetic acid;

(4-cyclohexylmethyl-6-{{4-(2-pyridinylamino)butanoyl] amino}-3,4-dihydro-30 2H-1,4-benzoxazin-2-yl)acetic acid;

(4-cyclohexylmethyl-6-{{5-(2-pyridinylamino)pentanoyl] amino}-3,4-dihydro-2H-1,4-benzoxazin-2-yl)acetic acid;

(4-cyclohexylmethyl-6-{{3-(1H-imidazol-2-ylamino)propanoyl] amino}-3,4-

dihydro-2H-1,4-benzoxazin-2-yl)acetic acid;
(4-cyclohexylmethyl-6-{[4-(1H-imidazol-2-ylamino)butanoyl]amino}-3,4-dihydro-2H-1,4-benzoxazin-2-yl)acetic acid;
(4-cyclohexylmethyl-6-{[5-(1H-imidazol-2-ylamino)pentanoyl] amino}-3,4-dihydro-2H-1,4-benzoxazin-2-yl)acetic acid;
5 (4-benzyl-6-{[3-(2-pyridinylamino)propanoyl] amino}-3,4-dihydro-2H-1,4-benzoxazin-2-yl)acetic acid;
(4-benzyl-6-{[4-(2-pyridinylamino)butanoyl] amino}-3,4-dihydro-2H-1,4-benzoxazin-2-yl)acetic acid;
10 (4-benzyl-6-{[5-(2-pyridinylamino)pentanoyl] amino}-3,4-dihydro-2H-1,4-benzoxazin-2-yl)acetic acid;
(4-benzyl-6-{[3-(1H-imidazol-2-ylamino)propanoyl] amino}-3,4-dihydro-2H-1,4-benzoxazin-2-yl)acetic acid;
15 (4-benzyl-6-{[4-(1H-imidazol-2-ylamino)butanoyl]amino}-3,4-dihydro-2H-1,4-benzoxazin-2-yl)acetic acid;
(4-benzyl-6-{[5-(1H-imidazol-2-ylamino)pentanoyl] amino}-3,4-dihydro-2H-1,4-benzoxazin-2-yl)acetic acid;
20 (4-benzoyl-6-{[4-(2-pyridinylamino)butanoyl]amino}-3,4-dihydro-2H-1,4-benzoxazin-2-yl)acetic acid;
(4-benzoyl-6-{[5-(2-pyridinylamino)pentanoyl]amino}-3,4-dihydro-2H-1,4-benzoxazin-2-yl)acetic acid;
25 (4-benzoyl-6-{[3-(1H-imidazol-2-ylamino)propanoyl]amino}-3,4-dihydro-2H-1,4-benzoxazin-2-yl)acetic acid;
(4-benzoyl-6-{[4-(1H-imidazol-2-ylamino)butanoyl]amino}-3,4-dihydro-2H-1,4-benzoxazin-2-yl)acetic acid;
30 (4-benzoyl-6-{[5-(1H-imidazol-2-ylamino)pentanoyl]amino}-3,4-dihydro-2H-1,4-benzoxazin-2-yl)acetic acid;
(4-nicotinoyl-6-{[3-(2-pyridinylamino)propanoyl]amino}-3,4-dihydro-2H-1,4-benzoxazin-2-yl)acetic acid;
(4-nicotinoyl-6-{[4-(2-pyridinylamino)butanoyl]amino}-3,4-dihydro-2H-1,4-benzoxazin-2-yl)acetic acid;

(4-nicotinoyl-6-{{5-(2-pyridinylamino)pentanoyl]amino}-3,4-dihydro-2H-1,4-benzoxazin-2-yl)acetic acid;

(4-nicotinoyl-6-{{3-(1H-imidazol-2-ylamino)propanoyl]amino}-3,4-dihydro-2H-1,4-benzoxazin-2-yl)acetic acid;

5 (4-nicotinoyl-6-{{4-(1H-imidazol-2-ylamino)butanoyl]amino}-3,4-dihydro-2H-1,4-benzoxazin-2-yl)acetic acid;

(4-nicotinoyl-6-{{5-(1H-imidazol-2-ylamino)pentanoyl]amino}-3,4-dihydro-2H-1,4-benzoxazin-2-yl)acetic acid;

[4-phenyl-6-{{2-(2-pyridinylamino)ethylamino]carbonyl}-3,4-dihydro-2H-1,4-benzoxazin-2-yl]acetic acid;

10 [4-phenyl-6-{{3-(2-pyridinylamino)propylamino]carbonyl}-3,4-dihydro-2H-1,4-benzoxazin-2-yl]acetic acid;

[4-phenyl-6-{{4-(2-pyridinylamino)butylamino]carbonyl}-3,4-dihydro-2H-1,4-benzoxazin-2-yl]acetic acid;

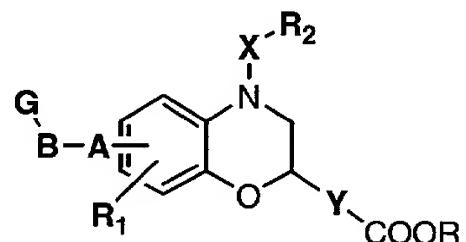
15 [4-phenyl-6-{{2-(1H-imidazol-2-ylamino)ethylamino]carbonyl}-3,4-dihydro-2H-1,4-benzoxazin-2-yl]acetic acid;

[4-phenyl-6-{{3-(1H-imidazol-2-ylamino)propylamino]carbonyl}-3,4-dihydro-2H-1,4-benzoxazin-2-yl]acetic acid;

[4-phenyl-6-{{4-(1H-imidazol-2-ylamino)butylamino]carbonyl}-3,4-dihydro-2H-1,4-benzoxazin-2-yl]acetic acid;

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5. A pharmaceutical composition comprising a therapeutically effective amount of the compound of the formula (I):

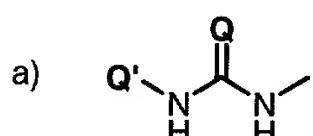


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(I)

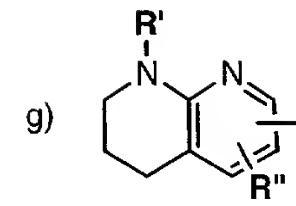
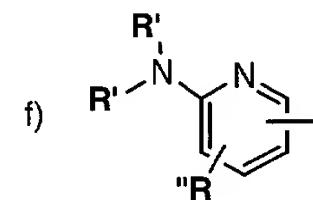
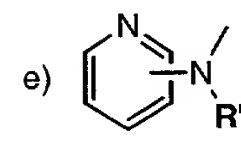
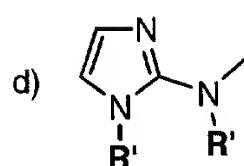
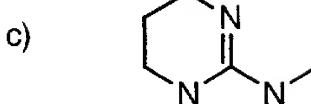
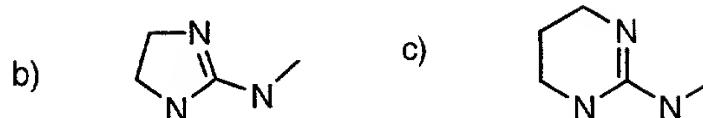
or a pharmaceutically acceptable salt, prodrug or ester thereof, wherein:

G is selected from the group consisting of



wherein Q is NH or O and Q' is selected from the group consisting of H,

C₁-C₆ alkyl, phenyl, and phenyl-C₁-C₄-alkyl;



wherein R' and R'' are independently H or C₁-C₄-alkyl;

5 B is C₁-C₄ alkyl or C₂-C₄ alkenyl;

A is selected from the group consisting of CH₂, O, S(O)_p wherein p is zero, 1 or 2, NH, a group CON(R'') or N(R'')CO wherein R'' is hydrogen or CH₃;

10 R₁ is selected from the group consisting of H, C₁-C₄ alkyl, C₁-C₄ alkoxy, OH, halogen, and CF₃;

X is (C=O)_m wherein m is 0 or 1;

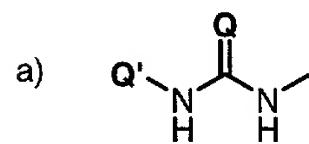
R₂ is selected from the group consisting of H, C₁-C₄ alkyl, C₃-C₇ cycloalkyl, C₁-C₄-alkylcycloalkyl; aryl unsubstituted or optionally substituted by one to three substituents independently selected from halogen, CF₃, C₁-C₄ alkyl, hydroxy and C₁-C₄ alkoxy; aralkyl; and C₅-C₇ monocyclic

15 heteroaryl ring containing one to three heteroatoms selected from O, S, and N, unsubstituted or optionally substituted by one to three substituents independently selected from the group consisting of halogen, CF₃, C₁-C₄ alkyl, hydroxy and C₁-C₄ alkoxy;

20 Y is (CH₂)_n wherein n is 1 or 2;

R is selected from the group consisting of hydrogen, C₁-C₆ alkyl, C₂-C₄ alkenyl, C₂-C₄ alkynyl, aryl or aryl-C₁-C₄ alkyl.

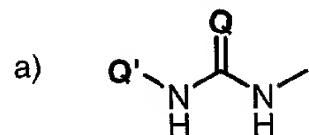
With the proviso that m can not be 0 when G is :



wherein Q' is H and Q is O and X is (C=O)_m.

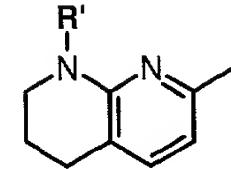
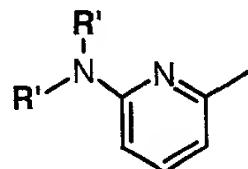
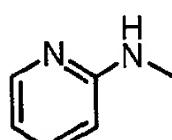
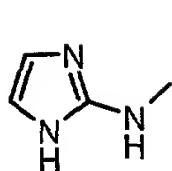
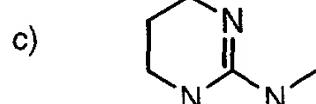
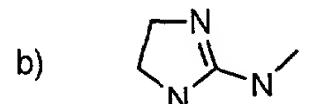
6. A pharmaceutical composition of claim 5 wherein :

G is selected from the group consisting of



wherein Q is NH or O and Q' is selected from the group consisting of H, C₁-C₆ alkyl, phenyl, and phenyl-C₁-C₄-alkyl;

5



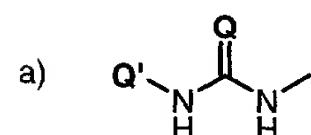
10 wherein R' is independently H or C₁-C₄-alkyl;

B is (CH₂)_q wherein q is 2, 3 or 4;

R₂ is a phenyl by one to three substituents independently selected from halogen, CF₃, C₁-C₄ alkyl, hydroxy and C₁-C₄ alkoxy; aralkyl; or pyridine ring unsubstituted or optionally substituted by one to three substituents independently selected from the group consisting of halogen, CF₃, C₁-C₄ alkyl, hydroxy and C₁-C₄ alkoxy.

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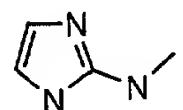
With the proviso that m can not be 0 when G is :



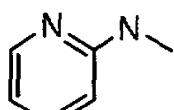
wherein Q' is H and Q is O and X is (C=O)_m.

20 7. A pharmaceutical composition of claim 5 wherein :

G is selected from the group consisting of



OR



25 B is (CH₂)_q wherein q is 2, 3 or 4;

R₂ is a phenyl by one to three substituents independently selected from halogen, CF₃, C₁-C₄ alkyl, hydroxy and C₁-C₄ alkoxy; aralkyl; or pyridine ring unsubstituted or optionally substituted by one to three substituents independently selected from the group consisting of halogen, CF₃, C₁-C₄ alkyl, hydroxy and C₁-C₄ alkoxy.

8. A pharmaceutical composition comprising a therapeutically effective amount of a compound or a pharmaceutically acceptable salt, prodrug or ester thereof as recited in claim 5 wherein the compound is selected from the 10 group consisting of

(4-phenyl-6-{[3-(2-pyridinylamino)propanoyl]amino}-3,4-dihydro-2H-1,4-benzoxazin-2-yl)acetic acid;

(4-phenyl-6-{[4-(2-pyridinylamino)butanoyl]amino}-3,4-dihydro-2H-1,4-benzoxazin-2-yl)acetic acid;

(4-phenyl-6-{[5-(2-pyridinylamino)pentanoyl]amino}-3,4-dihydro-2H-1,4-benzoxazin-2-yl)acetic acid;

(4-phenyl-6-{[3-(1H-imidazol-2-ylamino)propanoyl]amino}-3,4-dihydro-2H-1,4-benzoxazin-2-yl)acetic acid;

(4-phenyl-6-{[4-(1H-imidazol-2-ylamino)butanoyl]amino}-3,4-dihydro-2H-1,4-benzoxazin-2-yl)acetic acid;

(4-phenyl-6-{[5-(1H-imidazol-2-ylamino)pentanoyl]amino}-3,4-dihydro-2H-1,4-benzoxazin-2-yl)acetic acid;

(4-methyl-6-{[3-(2-pyridinylamino)propanoyl] amino}-3,4-dihydro-2H-1,4-benzoxazin-2-yl)acetic acid;

(4-methyl-6-{[4-(2-pyridinylamino)butanoyl] amino}-3,4-dihydro-2H-1,4-benzoxazin-2-yl)acetic acid;

(4-methyl-6-{[5-(2-pyridinylamino)pentanoyl] amino}-3,4-dihydro-2H-1,4-benzoxazin-2-yl)acetic acid;

(4-methyl-6-{[3-(1H-imidazol-2-ylamino)propanoyl] amino}-3,4-dihydro-2H-1,4-benzoxazin-2-yl)acetic acid;

(4-methyl-6-{[4-(1H-imidazol-2-ylamino)butanoyl]amino}-3,4-dihydro-2H-1,4-benzoxazin-2-yl)acetic acid;

(4-methyl-6-{{5-(1H-imidazol-2-ylamino)pentanoyl} amino}-3,4-dihydro-2H-1,4-benzoxazin-2-yl)acetic acid;

(4-cyclopropylmethyl-6-{{3-(2-pyridinylamino)propanoyl} amino}-3,4-dihydro-2H-1,4-benzoxazin-2-yl)acetic acid;

5 (4-cyclopropylmethyl-6-{{4-(2-pyridinylamino)butanoyl} amino}-3,4-dihydro-2H-1,4-benzoxazin-2-yl)acetic acid;

(4-cyclopropylmethyl-6-{{5-(2-pyridinylamino)pentanoyl} amino}-3,4-dihydro-2H-1,4-benzoxazin-2-yl)acetic acid;

10 (4-cyclopropylmethyl-6-{{3-(1H-imidazol-2-ylamino)propanoyl} amino}-3,4-dihydro-2H-1,4-benzoxazin-2-yl)acetic acid;

(4-cyclopropylmethyl-6-{{4-(1H-imidazol-2-ylamino)butanoyl} amino}-3,4-dihydro-2H-1,4-benzoxazin-2-yl)acetic acid;

15 (4-cyclopropylmethyl-6-{{5-(1H-imidazol-2-ylamino)pentanoyl} amino}-3,4-dihydro-2H-1,4-benzoxazin-2-yl)acetic acid;

(4-cyclohexylmethyl-6-{{3-(2-pyridinylamino)propanoyl} amino}-3,4-dihydro-2H-1,4-benzoxazin-2-yl)acetic acid;

(4-cyclohexylmethyl-6-{{4-(2-pyridinylamino)butanoyl} amino}-3,4-dihydro-2H-1,4-benzoxazin-2-yl)acetic acid;

20 (4-cyclohexylmethyl-6-{{5-(2-pyridinylamino)pentanoyl} amino}-3,4-dihydro-2H-1,4-benzoxazin-2-yl)acetic acid;

(4-cyclohexylmethyl-6-{{3-(1H-imidazol-2-ylamino)propanoyl} amino}-3,4-dihydro-2H-1,4-benzoxazin-2-yl)acetic acid;

(4-cyclohexylmethyl-6-{{4-(1H-imidazol-2-ylamino)butanoyl} amino}-3,4-dihydro-2H-1,4-benzoxazin-2-yl)acetic acid;

25 (4-cyclohexylmethyl-6-{{5-(1H-imidazol-2-ylamino)pentanoyl} amino}-3,4-dihydro-2H-1,4-benzoxazin-2-yl)acetic acid;

(4-benzyl-6-{{3-(2-pyridinylamino)propanoyl} amino}-3,4-dihydro-2H-1,4-benzoxazin-2-yl)acetic acid;

(4-benzyl-6-{{4-(2-pyridinylamino)butanoyl} amino}-3,4-dihydro-2H-1,4-benzoxazin-2-yl)acetic acid;

30 (4-benzyl-6-{{5-(2-pyridinylamino)pentanoyl} amino}-3,4-dihydro-2H-1,4-benzoxazin-2-yl)acetic acid;

(4-benzyl-6-{{3-(1H-imidazol-2-ylamino)propanoyl} amino}-3,4-dihydro-2H-

1,4-benzoxazin-2-yl)acetic acid;
(4-benzyl-6-{{4-(1H-imidazol-2-ylamino)butanoyl]amino}-3,4-dihydro-2H-1,4-benzoxazin-2-yl)acetic acid;
(4-benzyl-6-{{5-(1H-imidazol-2-ylamino)pentanoyl]amino}-3,4-dihydro-2H-1,4-benzoxazin-2-yl)acetic acid;
(4-benzoyl-6-{{3-(2-pyridinylamino)propanoyl]amino}-3,4-dihydro-2H-1,4-benzoxazin-2-yl)acetic acid;
(4-benzoyl-6-{{4-(2-pyridinylamino)butanoyl]amino}-3,4-dihydro-2H-1,4-benzoxazin-2-yl)acetic acid;
(4-benzoyl-6-{{5-(2-pyridinylamino)pentanoyl]amino}-3,4-dihydro-2H-1,4-benzoxazin-2-yl)acetic acid;
(4-benzoyl-6-{{3-(1H-imidazol-2-ylamino)propanoyl]amino}-3,4-dihydro-2H-1,4-benzoxazin-2-yl)acetic acid;
(4-benzoyl-6-{{4-(1H-imidazol-2-ylamino)butanoyl]amino}-3,4-dihydro-2H-1,4-benzoxazin-2-yl)acetic acid;
(4-benzoyl-6-{{5-(1H-imidazol-2-ylamino)pentanoyl]amino}-3,4-dihydro-2H-1,4-benzoxazin-2-yl)acetic acid;
(4-nicotinoyl-6-{{3-(2-pyridinylamino)propanoyl]amino}-3,4-dihydro-2H-1,4-benzoxazin-2-yl)acetic acid;
(4-nicotinoyl-6-{{4-(2-pyridinylamino)butanoyl]amino}-3,4-dihydro-2H-1,4-benzoxazin-2-yl)acetic acid;
(4-nicotinoyl-6-{{5-(2-pyridinylamino)pentanoyl]amino}-3,4-dihydro-2H-1,4-benzoxazin-2-yl)acetic acid;
(4-nicotinoyl-6-{{3-(1H-imidazol-2-ylamino)propanoyl]amino}-3,4-dihydro-2H-1,4-benzoxazin-2-yl)acetic acid;
(4-nicotinoyl-6-{{4-(1H-imidazol-2-ylamino)butanoyl]amino}-3,4-dihydro-2H-1,4-benzoxazin-2-yl)acetic acid;
(4-nicotinoyl-6-{{5-(1H-imidazol-2-ylamino)pentanoyl]amino}-3,4-dihydro-2H-1,4-benzoxazin-2-yl)acetic acid;
[4-phenyl-6-{{2-(2-pyridinylamino)ethylamino]carbonyl}-3,4-dihydro-2H-1,4-benzoxazin-2-yl]acetic acid;
[4-phenyl-6-{{3-(2-pyridinylamino)propylamino]carbonyl}-3,4-dihydro-2H-1,4-benzoxazin-2-yl]acetic acid;

[4-phenyl-6-{{4-(2-pyridinylamino)butylamino}carbonyl}-3,4-dihydro-2H-1,4-benzoxazin-2-yl]acetic acid;

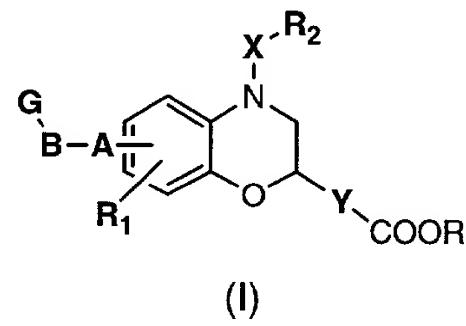
[4-phenyl-6-{{2-(1H-imidazol-2-ylamino)ethylamino}carbonyl}-3,4-dihydro-2H-1,4-benzoxazin-2-yl]acetic acid;

5 [4-phenyl-6-{{3-(1H-imidazol-2-ylamino)propylamino}carbonyl}-3,4-dihydro-2H-1,4-benzoxazin-2-yl]acetic acid;

[4-phenyl-6-{{4-(1H-imidazol-2-ylamino)butylamino}carbonyl}-3,4-dihydro-2H-1,4-benzoxazin-2-yl]acetic acid.

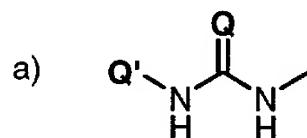
10 9. A method for treating a condition mediated by the $\alpha_v\beta_3$ integrin in a mammal in need of such treatment, including a human, comprising administering to said mammal an effective $\alpha_v\beta_3$ inhibiting amount of a compound of the formula (I)

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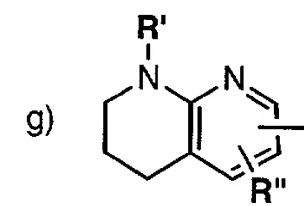
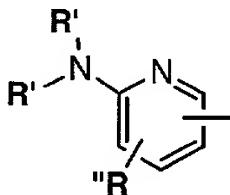
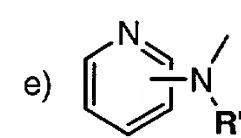
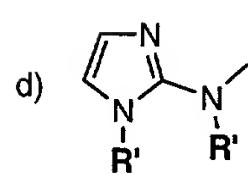
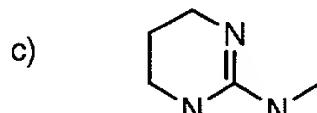
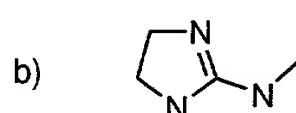


wherein:

G is selected from the group consisting of



20 wherein Q is NH or O and Q' is selected from the group consisting of H, C₁-C₆ alkyl, phenyl, and phenyl-C₁-C₄-alkyl;



wherein **R'** and **R''** are independently H or C₁-C₄-alkyl;

B is C₁-C₄ alkyl or C₂-C₄ alkenyl;

A is selected from the group consisting of CH₂, O, S(O)_p wherein p is zero, 1 or 2, NH, a group CON(R'') or N(R'')CO wherein R'' is hydrogen or CH₃;

5 **R**₁ is selected from the group consisting of H, C₁-C₄ alkyl, C₁-C₄ alkoxy, OH, halogen, and CF₃;

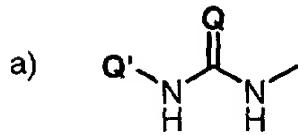
X is (C=O)_m wherein m is 0 or 1;

10 **R**₂ is selected from the group consisting of H, C₁-C₄ alkyl, C₃-C₇ cycloalkyl, C₁-C₄-alkylcycloalkyl; aryl unsubstituted or optionally substituted by one to three substituents independently selected from halogen, CF₃, C₁-C₄ alkyl, hydroxy and C₁-C₄ alkoxy; aralkyl; and C₅-C₇ monocyclic heteroaryl ring containing one to three heteroatoms selected from O, S, and N, unsubstituted or optionally substituted by one to three substituents independently selected from the group consisting of halogen, CF₃, C₁-C₄ alkyl, hydroxy and C₁-C₄ alkoxy;

15 **Y** is (CH₂)_n wherein n is 1 or 2;

R is selected from the group consisting of hydrogen, C₁-C₆ alkyl, C₂-C₄ alkenyl, C₂-C₄ alkynyl, aryl or aryl-C₁-C₄ alkyl.

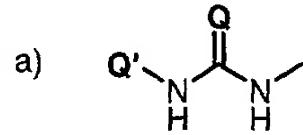
20 With the proviso that m can not be 0 when G is :



wherein Q' is H and Q is O and X is (C=O)_m.

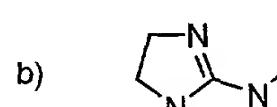
10. The method of claim 9 wherein :

G is selected from the group consisting of

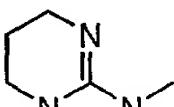


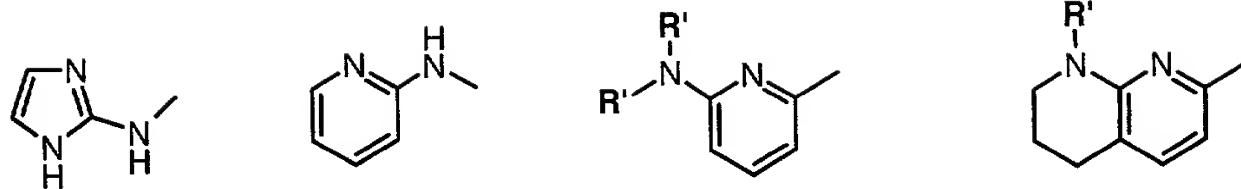
25

wherein Q is NH or O and Q' is selected from the group consisting of H, C₁-C₆ alkyl, phenyl, and phenyl-C₁-C₄-alkyl;



c)

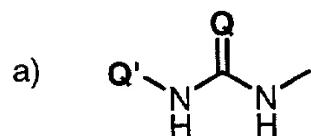




wherein \mathbf{R}' is independently H or $\text{C}_1\text{-C}_4$ -alkyl;

5 \mathbf{B} is $(\text{CH}_2)_q$ wherein q is 2, 3 or 4;
 \mathbf{R}_2 is a phenyl by one to three substituents independently selected from halogen, CF_3 , $\text{C}_1\text{-C}_4$ alkyl, hydroxy and $\text{C}_1\text{-C}_4$ alkoxy; aralkyl; or pyridine ring unsubstituted or optionally substituted by one to three substituents independently selected from the group consisting of halogen, CF_3 , $\text{C}_1\text{-C}_4$ alkyl, hydroxy and $\text{C}_1\text{-C}_4$ alkoxy.

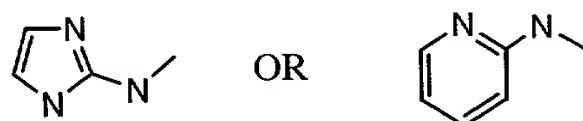
10 With the proviso that m can not be 0 when \mathbf{G} is :



wherein \mathbf{Q}' is H and \mathbf{Q} is O and \mathbf{X} is $(\text{C}=\text{O})_m$.

11. The method of claim 9 wherein :

15 \mathbf{G} is selected from the group consisting of



\mathbf{B} is $(\text{CH}_2)_q$ wherein q is 2, 3 or 4;

20 \mathbf{R}_2 is a phenyl by one to three substituents independently selected from halogen, CF_3 , $\text{C}_1\text{-C}_4$ alkyl, hydroxy and $\text{C}_1\text{-C}_4$ alkoxy; aralkyl; or pyridine ring unsubstituted or optionally substituted by one to three substituents independently selected from the group consisting of halogen, CF_3 , $\text{C}_1\text{-C}_4$ alkyl, hydroxy and $\text{C}_1\text{-C}_4$ alkoxy.

25

12. The method according to claim 9 wherein the compound is selected from the group consisting of

(4-phenyl-6-{{3-(2-pyridinylamino)propanoyl}amino}-3,4-dihydro-2H-1,4-benzoxazin-2-yl)acetic acid;

5 (4-phenyl-6-{{4-(2-pyridinylamino)butanoyl}amino}-3,4-dihydro-2H-1,4-benzoxazin-2-yl)acetic acid;

(4-phenyl-6-{{5-(2-pyridinylamino)pentanoyl}amino}-3,4-dihydro-2H-1,4-benzoxazin-2-yl)acetic acid;

10 (4-phenyl-6-{{3-(1H-imidazol-2-ylamino)propanoyl}amino}-3,4-dihydro-2H-1,4-benzoxazin-2-yl)acetic acid;

(4-phenyl-6-{{4-(1H-imidazol-2-ylamino)butanoyl}amino}-3,4-dihydro-2H-1,4-benzoxazin-2-yl)acetic acid;

15 (4-phenyl-6-{{5-(1H-imidazol-2-ylamino)pentanoyl}amino}-3,4-dihydro-2H-1,4-benzoxazin-2-yl)acetic acid;

(4-methyl-6-{{3-(2-pyridinylamino)propanoyl} amino}-3,4-dihydro-2H-1,4-benzoxazin-2-yl)acetic acid;

20 (4-methyl-6-{{4-(2-pyridinylamino)butanoyl} amino}-3,4-dihydro-2H-1,4-benzoxazin-2-yl)acetic acid;

(4-methyl-6-{{5-(2-pyridinylamino)pentanoyl} amino}-3,4-dihydro-2H-1,4-benzoxazin-2-yl)acetic acid;

25 (4-methyl-6-{{3-(1H-imidazol-2-ylamino)propanoyl} amino}-3,4-dihydro-2H-1,4-benzoxazin-2-yl)acetic acid;

(4-methyl-6-{{4-(1H-imidazol-2-ylamino)butanoyl}amino}-3,4-dihydro-2H-1,4-benzoxazin-2-yl)acetic acid;

(4-methyl-6-{{5-(1H-imidazol-2-ylamino)pentanoyl} amino}-3,4-dihydro-2H-1,4-benzoxazin-2-yl)acetic acid;

30 (4-cyclopropylmethyl-6-{{3-(2-pyridinylamino)propanoyl} amino}-3,4-dihydro-2H-1,4-benzoxazin-2-yl)acetic acid;

(4-cyclopropylmethyl-6-{{4-(2-pyridinylamino)butanoyl} amino}-3,4-dihydro-2H-1,4-benzoxazin-2-yl)acetic acid;

(4-cyclopropylmethyl-6-{{5-(2-pyridinylamino)pentanoyl} amino}-3,4-dihydro-2H-1,4-benzoxazin-2-yl)acetic acid;

(4-cyclopropylmethyl-6-{[4-(1H-imidazol-2-ylamino)butanoyl]amino}-3,4-dihydro-2H-1,4-benzoxazin-2-yl)acetic acid;

(4-cyclopropylmethyl-6-{[5-(1H-imidazol-2-ylamino)pentanoyl] amino}-3,4-dihydro-2H-1,4-benzoxazin-2-yl)acetic acid;

5 (4-cyclohexylmethyl-6-{[3-(2-pyridinylamino)propanoyl] amino}-3,4-dihydro-2H-1,4-benzoxazin-2-yl)acetic acid;

(4-cyclohexylmethyl-6-{[4-(2-pyridinylamino)butanoyl] amino}-3,4-dihydro-2H-1,4-benzoxazin-2-yl)acetic acid;

10 (4-cyclohexylmethyl-6-{[5-(2-pyridinylamino)pentanoyl] amino}-3,4-dihydro-2H-1,4-benzoxazin-2-yl)acetic acid;

(4-cyclohexylmethyl-6-{[3-(1H-imidazol-2-ylamino)propanoyl] amino}-3,4-dihydro-2H-1,4-benzoxazin-2-yl)acetic acid;

(4-cyclohexylmethyl-6-{[4-(1H-imidazol-2-ylamino)butanoyl]amino}-3,4-dihydro-2H-1,4-benzoxazin-2-yl)acetic acid;

15 (4-cyclohexylmethyl-6-{[5-(1H-imidazol-2-ylamino)pentanoyl] amino}-3,4-dihydro-2H-1,4-benzoxazin-2-yl)acetic acid;

(4-benzyl-6-{[3-(2-pyridinylamino)propanoyl] amino}-3,4-dihydro-2H-1,4-benzoxazin-2-yl)acetic acid;

(4-benzyl-6-{[4-(2-pyridinylamino)butanoyl] amino}-3,4-dihydro-2H-1,4-benzoxazin-2-yl)acetic acid;

20 (4-benzyl-6-{[5-(2-pyridinylamino)pentanoyl] amino}-3,4-dihydro-2H-1,4-benzoxazin-2-yl)acetic acid;

(4-benzyl-6-{[3-(1H-imidazol-2-ylamino)propanoyl] amino}-3,4-dihydro-2H-1,4-benzoxazin-2-yl)acetic acid;

(4-benzyl-6-{[4-(1H-imidazol-2-ylamino)butanoyl]amino}-3,4-dihydro-2H-1,4-benzoxazin-2-yl)acetic acid;

25 (4-benzyl-6-{[5-(1H-imidazol-2-ylamino)pentanoyl] amino}-3,4-dihydro-2H-1,4-benzoxazin-2-yl)acetic acid;

(4-benzoyl-6-{[3-(2-pyridinylamino)propanoyl]amino}-3,4-dihydro-2H-1,4-benzoxazin-2-yl)acetic acid;

(4-benzoyl-6-{[4-(2-pyridinylamino)butanoyl]amino}-3,4-dihydro-2H-1,4-benzoxazin-2-yl)acetic acid;

30 (4-benzoyl-6-{[5-(2-pyridinylamino)pentanoyl]amino}-3,4-dihydro-2H-1,4-benzoxazin-2-yl)acetic acid;

benzoxazin-2-yl)acetic acid;
(4-benzoyl-6-{[3-(1H-imidazol-2-ylamino)propanoyl]amino}-3,4-dihydro-2H-1,4-benzoxazin-2-yl)acetic acid;
(4-benzoyl-6-{[4-(1H-imidazol-2-ylamino)butanoyl]amino}-3,4-dihydro-2H-1,4-benzoxazin-2-yl)acetic acid;
5 (4-benzoyl-6-{[5-(1H-imidazol-2-ylamino)pentanoyl]amino}-3,4-dihydro-2H-1,4-benzoxazin-2-yl)acetic acid;
(4-nicotinoyl-6-{[3-(2-pyridinylamino)propanoyl]amino}-3,4-dihydro-2H-1,4-benzoxazin-2-yl)acetic acid;
10 (4-nicotinoyl-6-{[4-(2-pyridinylamino)butanoyl]amino}-3,4-dihydro-2H-1,4-benzoxazin-2-yl)acetic acid;
(4-nicotinoyl-6-{[5-(2-pyridinylamino)pentanoyl]amino}-3,4-dihydro-2H-1,4-benzoxazin-2-yl)acetic acid;
15 (4-nicotinoyl-6-{[3-(1H-imidazol-2-ylamino)propanoyl]amino}-3,4-dihydro-2H-1,4-benzoxazin-2-yl)acetic acid;
(4-nicotinoyl-6-{[4-(1H-imidazol-2-ylamino)butanoyl]amino}-3,4-dihydro-2H-1,4-benzoxazin-2-yl)acetic acid;
20 (4-nicotinoyl-6-{[5-(1H-imidazol-2-ylamino)pentanoyl]amino}-3,4-dihydro-2H-1,4-benzoxazin-2-yl)acetic acid;
[4-phenyl-6-{[2-(2-pyridinylamino)ethylamino]carbonyl}-3,4-dihydro-2H-1,4-benzoxazin-2-yl]acetic acid;
[4-phenyl-6-{[3-(2-pyridinylamino)propylamino]carbonyl}-3,4-dihydro-2H-1,4-benzoxazin-2-yl]acetic acid;
[4-phenyl-6-{[4-(2-pyridinylamino)butylamino]carbonyl}-3,4-dihydro-2H-1,4-benzoxazin-2-yl]acetic acid;
25 [4-phenyl-6-{[2-(1H-imidazol-2-ylamino)ethylamino]carbonyl}-3,4-dihydro-2H-1,4-benzoxazin-2-yl]acetic acid;
[4-phenyl-6-{[3-(1H-imidazol-2-ylamino)propylamino]carbonyl}-3,4-dihydro-2H-1,4-benzoxazin-2-yl]acetic acid;
30 [4-phenyl-6-{[4-(1H-imidazol-2-ylamino)butylamino]carbonyl}-3,4-dihydro-2H-1,4-benzoxazin-2-yl]acetic acid.

13. The method according to claim 9 wherein the condition treated is bone

resorption, osteoporosis, humoral hypercalcemia of malignancy, Paget's disease, tumor metastasis, neoplasia (solid tumor growth), angiogenesis including tumor angiogenesis, diabetic retinopathy, arthritis, psoriasis and periodontal disease, or smooth muscle cell migration including restenosis.

5

14. The method according to claim 12 wherein the condition treated is bone resorption, osteoporosis, humoral hypercalcemia of malignancy, Paget's disease, tumor metastasis, neoplasia (solid tumor growth), angiogenesis including tumor angiogenesis, diabetic retinopathy, arthritis, psoriasis and periodontal disease, or smooth muscle cell migration including restenosis.
- 10
15. A combined method of treatment of cancer or of controlling the growth of a neoplasm in a mammal suffering from cancer, including a human, said method comprising administering simultaneous, separately or sequentially,
 - 15 1) a compound of formula (I) as defined in claim 1 or a pharmaceutically acceptable salts thereof; and
 - 2) an additional antitumor agent; in amounts and close enough together in time sufficient to produce a therapeutically useful effect.
- 20 16. The method according to claim 15, wherein the additional antitumor agent is selected from the group consisting of an antineoplastic topoisomerase II inhibitor, an antineoplastic antimicrotubule agent, an antineoplastic alkylating agent, an antineoplastic antimetabolite and an antineoplastic topoisomerase I inhibitor.
- 25
17. A product containing a compound of formula (I) as defined in claim 1 or a pharmaceutically acceptable salt thereof, and an effective antineoplastic amount of additional antitumor agent as a combined preparation for simultaneous, separate or sequential use in anti-cancer therapy.
- 30
18. The product according to claim 17, wherein the additional antitumor agent is selected from an antineoplastic topoisomerase II inhibitor, an antineoplastic antimicrotubule agent, an antineoplastic alkylating agent, an

antineoplastic antimetabolite and an antineoplastic topoisomerase I inhibitor.